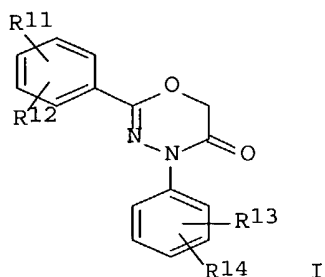


L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:573782 CAPLUS Full-text
 DN 133:164066
 TI Preparation of heterodiazinone derivatives as AMPA receptor antagonists
 IN Ito, Koichi; Kitazawa, Noritaka; Nagato, Satoshi; Kajiwara, Akiharu;
 Fukushima, Tatsuto; Hatakeyama, Shinji; Hanada, Takahisa; Ueno,
 Masataka; Ueno, Kohshi; Kawano, Koki
 PA Eisai Co., Ltd., Japan
 SO PCT Int. Appl., 120 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2000047567 | A1 | 20000817 | WO 2000-JP799 | 20000215 |
| | W: AU, BR, CA, CN, HU, KR, MX, NO, NZ, RU, US | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | JP 2000302770 | A2 | 20001031 | JP 2000-34407 | 20000214 |
| | AU 2000024618 | A5 | 20000829 | AU 2000-24618 | 20000215 |
| | AU 767849 | B2 | 20031127 | | |
| | EP 1153922 | A1 | 20011114 | EP 2000-902953 | 20000215 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| PRAI | JP 1999-36233 | A | 19990215 | | |
| | WO 2000-JP799 | W | 20000215 | | |
| OS | MARPAT 133:164066 | | | | |
| GI | | | | | |



AB Heterodiazinone derivs. represented by general formula (I), pharmacol. acceptable salts of the same, or hydrates of both, [wherein A is O, S or NR3 (wherein R3 is hydrogen or lower alkyl); R1 and R2 are each independently optionally substituted (hetero)aryl, aralkyl, heteroarylalkyl, arylalkenyl, or heteroarylalkenyl, piperidyl, piperazinyl, morpholinyl, (un)substituted lower cycloalkyl, tetrahydrofuranyl, tetrahydropyranyl, adamantyl, (un)substituted NH2, (un)substituted amido; and R4 and R5 are each independently hydrogen, hydroxyl, halogeno, cyano, nitro, lower alkyl, or (hetero)aryl], exhibiting 2-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA) receptor antagonism, are prepared These compds. are useful for the treatment, prevention, or improvement of diseases where AMPA receptor antagonism is effective, such as neurodegenerative diseases, more specifically acute neurodegeneration suffered after brain ischemia, head injury, and spinal cord injury, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, Huntington chorea, epilepsy, pain, multiple sclerosis, cerebral meningitis, Guillain-Barre syndrome,

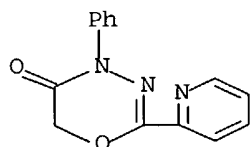
HIV- or HTLV-related myelitis, or white encephalitis. Thus, picolinic acid was condensed with phenylhydrazine using 1,1'-carbonyldiimidazole in DMF/THF to give 86% picolinic acid phenylhydrazide which was cyclocondensed with chloroacetyl chloride in Me Et ketone under reflux for 1 h to give 2-(2-pyridyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one (II). II.HCl and 2-phenyl-4-(2-chlorophenyl)-4H-1,3,4-oxadiazin-5(6H)-one shoed IC50 of 11.8 and 0.8 μ M, resp., for inhibiting AMPA-induced influx of calcium into rat cerebral nerve cells.

IT 287953-92-8P 287953-95-1P 287954-08-9P
 287954-10-3P 287954-22-7P 287954-23-8P
 287954-25-0P 287954-54-5P 287955-32-2P
 287955-33-3P 287955-34-4P 287955-35-5P
 287955-36-6P 287955-37-7P 287955-61-7P
 287955-82-2P 287955-85-5P 287955-86-6P
 287955-87-7P 287955-88-8P 287955-89-9P
 287955-90-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of heterodiazinone derivs. as AMPA receptor antagonists and therapeutics)

RN 287953-92-8 CAPLUS

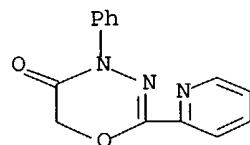
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-(2-pyridinyl)-, monohydrochloride(9CI) (CA INDEX NAME)



● HCl

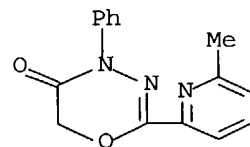
RN 287953-95-1 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 287954-08-9 CAPLUS

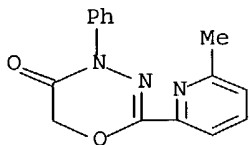
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-(6-methyl-2-pyridinyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

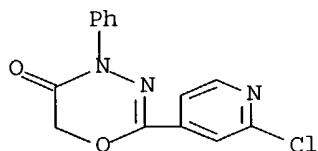
RN 287954-10-3 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-(6-methyl-2-pyridinyl)-4-phenyl- (9CI)
(CA INDEX NAME)



RN 287954-22-7 CAPLUS

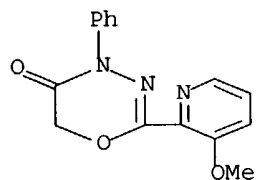
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-(2-chloro-4-pyridinyl)-4-phenyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

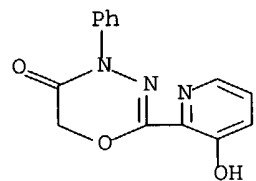
RN 287954-23-8 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-(3-methoxy-2-pyridinyl)-4-phenyl- (9CI)
(CA INDEX NAME)



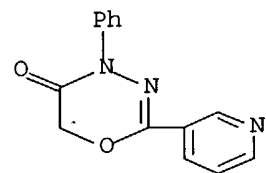
RN 287954-25-0 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-(3-hydroxy-2-pyridinyl)-4-phenyl- (9CI)
(CA INDEX NAME)



RN 287954-54-5 CAPLUS

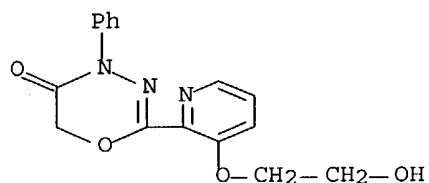
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-(3-pyridinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

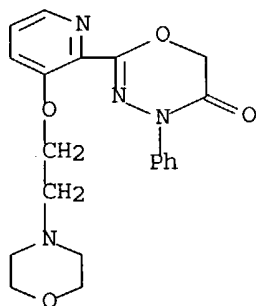
RN 287955-32-2 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-[3-(2-hydroxyethoxy)-2-pyridinyl]-4-phenyl-(9CI) (CA INDEX NAME)



RN 287955-33-3 CAPLUS

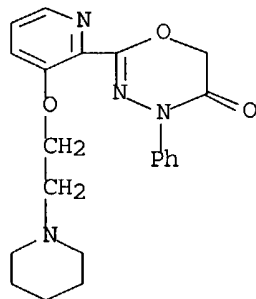
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-[3-[2-(4-morpholinyl)ethoxy]-2-pyridinyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 287955-34-4 CAPLUS

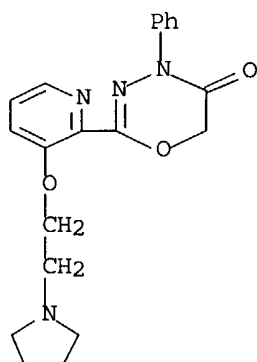
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-[3-[2-(1-piperidinyloxy)ethoxy]-2-pyridinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 287955-35-5 CAPLUS

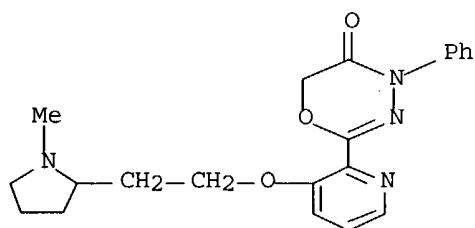
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-[3-[2-(1-pyrrolidinyl)ethoxy]-2-pyridinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 287955-36-6 CAPLUS

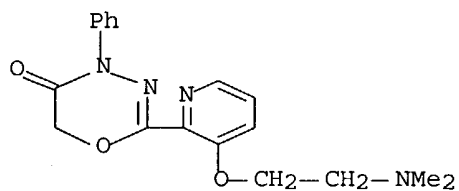
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-[3-[2-(1-methyl-2-pyrrolidinyl)ethoxy]-2-pyridinyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 287955-37-7 CAPLUS

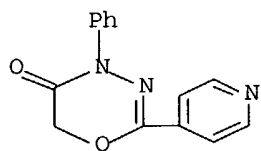
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-[3-[2-(dimethylamino)ethoxy]-2-pyridinyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 287955-61-7 CAPLUS

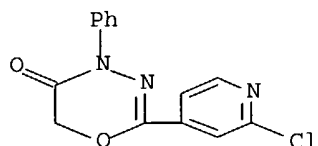
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

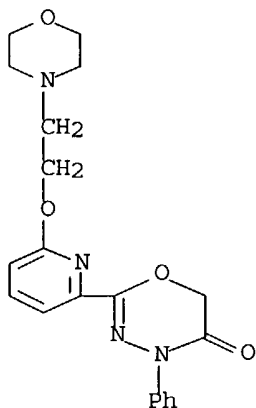
RN 287955-82-2 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-(2-chloro-4-pyridinyl)-4-phenyl- (9CI)
(CA INDEX NAME)



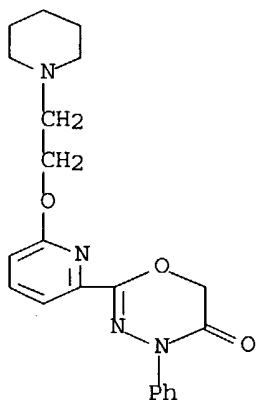
RN 287955-85-5 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-[6-[2-(4-morpholinyl)ethoxy]-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 287955-86-6 CAPLUS

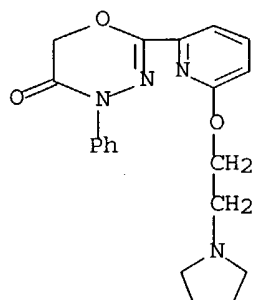
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-[6-[2-(1-piperidinyl)ethoxy]-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 287955-87-7 CAPLUS

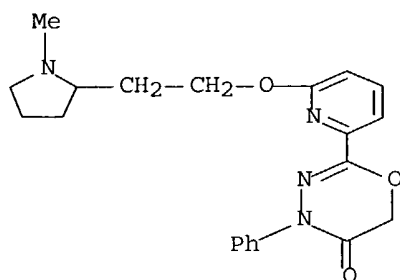
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-[6-[2-(1-pyrrolidinyl)ethoxy]-

2-pyridinyl]- (9CI) (CA INDEX NAME)



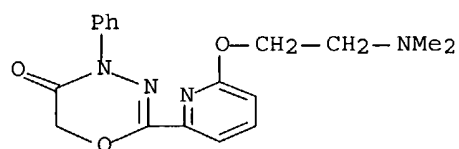
RN 287955-88-8 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-[6-[2-(1-methyl-2-pyrrolidinyl)ethoxy]-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



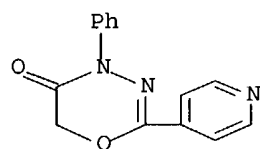
RN 287955-89-9 CAPLUS

CN 4H-1,3,4-Oxadiazin-5(6H)-one, 2-[6-[2-(dimethylamino)ethoxy]-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 287955-90-2 CAPLUS

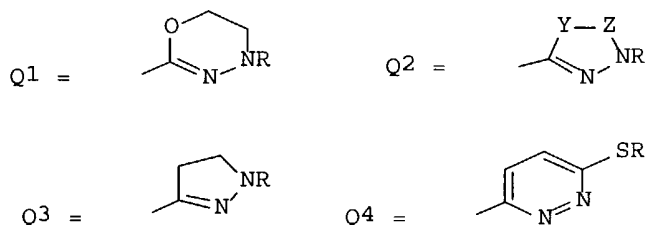
CN 4H-1,3,4-Oxadiazin-5(6H)-one, 4-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 1 OF 3 MARPAT COPYRIGHT 2004 ACS on STN
 AN 130:219496 MARPAT Full-text
 TI Nitrogen heterocyclic compounds and insecticidal and acaricidal compositions containing them
 IN Kato, Yasuhito; Sugisaki, Hiroyasu; Kodama, Seiichiro; Wada, Hisao
 PA Nippon Kayaku Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 21 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|----------|
| PI | JP 11049755 | A2 | 19990223 | JP 1997-218367 | 19970730 |
| PRAI | JP 1997-218367 | | 19970730 | | |

GI

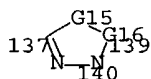


AB N-containing heterocyclic compds. AQ [A = (substituted) aryl; Q = Q1-Q4; Y = OCH₂, CH₂CH₂, CH:CH; Z = CH₂, CO, CS; when Y = OCH₂, then Z ≠ CH₂; R = C1-4 haloalkyl, (CH₂)_mG; G = H, substituent; m = 0-3; when m = 0 or 1, then G ≠ H] or their salts are useful for insecticidal and acaricidal compns. Refluxing 4-(4-bromophenyl)-4-oxobutyric acid with hydrazine in EtOH and reaction of the resulting 3-(4-bromophenyl)-1H,4H,5H-1,2-diazin-6-one with 1-bromo-2-fluoroethane in DMF in the presence of NaH gave 2-(2-fluoroethyl)-6-(4-bromophenyl)-4H,5H-1,2-diazin-3-one, which (at 200 ppm) showed ≥80% control of Aphis gossypii on cucumber leaf disks. Formulation examples are given.

MSTR 1

G1—G7

G1 = pyridyl (SO (1-) G3)
 G8 = 137-1 140-85



G9 = Ph (SO)
 G15 = 141-137 142-139

141—CH₂

G16 = C(O)
 DER: or nitrogen containing heterocyclic derivatives and salts
 MPL: claim 1
 NTE: substitution is restricted

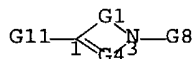
L9 ANSWER 2 OF 3 MARPAT COPYRIGHT 2004 ACS on STN
 AN 129:132551 MARPAT Full-text
 TI Pyridazinones as marine antifouling agents
 IN Willingham, Gary Lewis; Sherba, Samuel Eugene; Lange, Barry Clifford;
 Michelotti, Enrique Luis
 PA Rohm and Haas Co., USA
 SO Eur. Pat. Appl., 10 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | EP 856255 | A2 | 19980805 | EP 1998-300059 | 19980106 |
| | EP 856255 | A3 | 19981230 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | SG 72781 | A1 | 20000523 | SG 1998-125 | 19980117 |
| | CA 2227511 | AA | 19980730 | CA 1998-2227511 | 19980120 |
| | JP 10212208 | A2 | 19980811 | JP 1998-32403 | 19980130 |

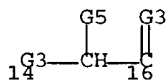
PRAI US 1997-36527P 19970130

AB Disclosed is a method of inhibiting the growth of marine organisms on a marine structure, by applying dihydropyridazinone and pyridazinone compds. (Markush given). These compds. may be directly incorporated into the marine structure during manufacture, directly applied to the structure, or applied to the structure by coating. Suitable agents are 6-(4-chlorophenyl)-2-(2-pentynyl)pyridazin-3-one, 6-(4-chlorophenyl)-2-(2'-pentynyl)-4,5-dihydropyridazin-3-one, etc.

MSTR 2



G1 = 14-1 16-3



G3 = O

G4 = N

G8 = Ph

G11 = pyridyl (SO (1) G15)

MPL: disclosure

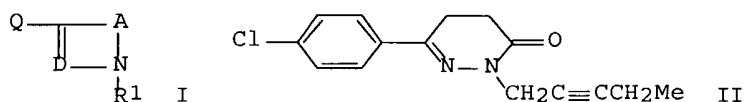
NTE: substitution is restricted

NTE: additional ring formation also disclosed

L9 ANSWER 3 OF 3 MARPAT COPYRIGHT 2004 ACS on STN
 AN 117:131213 MARPAT Full-text
 TI Preparation of dihydropyridazinones and related compounds as fungicides
 IN Egan, Anne Ritchie; Michelotti, Enrique Luis; Ross, Ronald, Jr.; Wilson, Willie Joe
 PA Rohm and Haas Co., USA
 SO Eur. Pat. Appl., 85 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

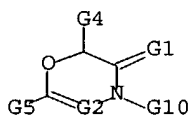
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | EP 478195 | A1 | 19920401 | EP 1991-308404 | 19910913 |
| | EP 478195 | B1 | 19990526 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | AT 180475 | E | 19990615 | AT 1991-308404 | 19910913 |
| | ES 2131506 | T3 | 19990801 | ES 1991-308404 | 19910913 |
| | CA 2051471 | AA | 19920322 | CA 1991-2051471 | 19910916 |
| | AU 9184602 | A1 | 19920326 | AU 1991-84602 | 19910919 |
| | AU 651375 | B2 | 19940721 | | |
| | ZA 9107466 | A | 19920527 | ZA 1991-7466 | 19910919 |
| | HU 59379 | A2 | 19920528 | HU 1991-3020 | 19910920 |
| | BR 9104043 | A | 19920602 | BR 1991-4043 | 19910920 |
| | JP 05025164 | A2 | 19930202 | JP 1991-241806 | 19910920 |
| | JP 3166782 | B2 | 20010514 | | |
| | IL 99542 | A1 | 20010111 | IL 1991-99542 | 19910920 |
| | JP 2001139553 | A2 | 20010522 | JP 2000-341752 | 19910920 |
| | JP 3408790 | B2 | 20030519 | | |
| | JP 2001181261 | A2 | 20010703 | JP 2000-342924 | 19910920 |
| | JP 3408791 | B2 | 20030519 | | |
| | CN 1069729 | A | 19930310 | CN 1991-110000 | 19911028 |
| | CN 1038249 | B | 19980506 | | |
| | JP 05286944 | A2 | 19931102 | JP 1992-62341 | 19920318 |
| | JP 3242140 | B2 | 20011225 | | |
| | US 5552409 | A | 19960903 | US 1994-221229 | 19940331 |
| | US 5631254 | A | 19970520 | US 1995-467384 | 19950606 |
| | US 5753642 | A | 19980519 | US 1995-462472 | 19950606 |
| | US 5726176 | A | 19980310 | US 1996-740546 | 19961030 |
| | US 5726162 | A | 19980310 | US 1996-741248 | 19961030 |
| | US 5728698 | A | 19980317 | US 1996-740548 | 19961030 |
| | US 5728694 | A | 19980317 | US 1996-740549 | 19961030 |
| | US 5728715 | A | 19980317 | US 1996-741249 | 19961030 |
| | JP 2001172264 | A2 | 20010626 | JP 2000-342863 | 20001110 |
| | JP 3364205 | B2 | 20030108 | | |
| PRAI | US 1990-586633 | | 19900921 | | |
| | US 1991-749576 | | 19910828 | | |
| | JP 1991-241806 | | 19910920 | | |
| | JP 1992-62341 | | 19920318 | | |
| | US 1994-221229 | | 19940331 | | |
| | US 1995-467384 | | 19950606 | | |

GI



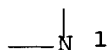
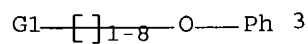
AB Title compds. I [A = (CHR₂)_nCHR₇Z, (CHR₂)_nOZ, (CHR₂)_nSZ, OCHR₇Z, etc.; n = 0-2; D = N, CR₂; Q = (substituted) Ph, -naphthyl, -styryl, -pyridyl, -quinolyl, -indolyl, etc.; Z = CO, C:S; R₁ = (substituted) alkyl, -alkynyl, -alkenyl, Ph, etc.; R₂ = H, C1-3 alkyl, Ph, halo; R₇ = R₂, alkenylalkenyl, alkynyl, dialkynyl, haloalkynyl, alkenylalkynyl; or R₂ and R₇ form fused Ph ring, etc., with provisos] were prepared as medical and agrochem. fungicides. Thus, 3-(4-chlorobenzoyl)propionic acid (preparation given) in absolute EtOH was refluxed for 3 h with hydrazine and the dihydropyridazinone formed was N-alkynylated by 1-bromopent-2-yne to give title compound II. II at 200 ppm gave 99% control of *Pyricularia oryzae* on rice and at 100 ppm gave 100% control of *Candida albicans*.

MSTR 1D

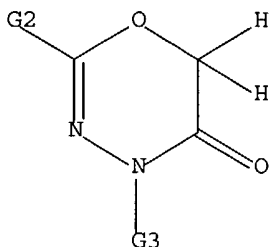


G1 = O
 G2 = N
 G5 = pyridyl (SO)
 G10 = Ph
 DER: and agronomically acceptable salts
 MPL: claim 1
 NTE: additional ring formation claimed

=> d l1; d his; log y
 L1 HAS NO ANSWERS
 L1 STR



Hy 2



Hy 4

G1 [@1], [@2]

G2 [@3], [@4]

G3 Ph, [@4]

Structure attributes must be viewed using STN Express query preparation.
 (FILE 'HOME' ENTERED AT 16:19:59 ON 09 APR 2004)

FILE 'REGISTRY' ENTERED AT 16:20:07 ON 09 APR 2004

L1 STRUCTURE UPLOADED
 L2 0 S L1
 L3 22 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:20:39 ON 09 APR 2004

L4 1 S L3

FILE 'BEILSTEIN' ENTERED AT 16:21:06 ON 09 APR 2004

L5 0 S L1
 L6 0 S L1 FUL

FILE 'MARPAT' ENTERED AT 16:21:28 ON 09 APR 2004

L7 0 S L1
 L8 4 S L1 FUL
 L9 3 S L8 NOT L4

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 122.77 | 283.65 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -1.98 | -2.67 |

STN INTERNATIONAL LOGOFF AT 16:22:04 ON 09 APR 2004